Atty Dkt. No.: STAN-258US7

USSN: 10/579,663

## **AMENDMENTS**

## In the claims:

1. (Currently Amended) A tTGase inhibitor of the formula:

wherein R<sub>1</sub> and R<sub>2</sub> are independently selected from H, alkyl, alkenyl, cycloalkyl, aryl, heteroalkyl, heteroaryl, alkoxy, alkylthio, arakyl, aralkenyl, halo, haloalkyl, haloalkoxy, heterocyclyl, and heterocyclylalkyl groups, an amino acid, a peptide, a peptidomimetic, or a peptidic protecting group; wherein R<sub>2</sub> can additionally be selected from the group consisting of LPYPQPQLPY (SEQ ID NO:1), LPYPQPQLPF-NH<sub>2</sub> (SEQ ID NO:2), LPYPQPQLP (SEQ ID NO:3), LPYPQPQLPYPQPQPP (SEQ ID NO:4), and LP-X<sub>2-15</sub>, where wherein X<sub>2-15</sub> is a peptide consisting of any 2-15 amino acid residues followed by a C-terminal proline; R<sub>3</sub> is selected from F, I, CI, and Br; n is from 0 to 10; and X is selected from the group consisting of O and NH, other than ((S)-1-I(3-Bromo-4 5-dihydro-isoxazol-5-ylmethyl)-carbamoyll-2-phenyl-ethyl)-

other than {(S)-1-[(3-Bromo-4,5-dihydro-isoxazol-5-ylmethyl)-carbamoyl]-2-phenyl-ethyl}-carbamic acid benzyl ester.

- 2. (Currently Amended) The inhibitor of Claim 1, wherein R<sub>1</sub> is selected from the group consisting of BnO, Me, Cbz, Fmoc, Boc, PQP, Ac-PQP, PQPQLPYPQP (SEQ ID NO:5), Ac-PQPQLPFPQP (SEQ ID NO:6), QLQPFPQP (SEQ ID NO:7), LQLQPFPQPLPYPQP (SEQ ID NO:8), and X<sub>2-15</sub>-P, where wherein X<sub>2-15</sub> is a peptide consisting of any 2-15 amino acid residues followed by a N-terminal proline.
- 3. (Currently Amended) The inhibitor of Claim 1, wherein R<sub>2</sub> is selected from the group consisting of (S)-Bn, (S)-CO<sub>2</sub>Me, (S)-Me, (R)-Bn, (S)-CH<sub>2</sub>CONHBn, (S)-(1*H*-inol-yl)-methyl, (S)-(4-hydrohy-phenyl)-methyl, OMe, OtBu, Gly, Gly-NH<sub>2</sub>, LPY, <u>and</u> LPF-NH<sub>2</sub>.
  - 4. (Original) The inhibitor of Claim 1, wherein R<sub>3</sub> is Br.
- 5. (Currently Amended) The inhibitor of Claim 1, wherein said tTGase inhibitor is selected from the group consisting of:

{(S)-1-[(3-Bromo-4,5-dihydro-isoxazol-5-ylmethyl)-carbamoyl]-2-phenyl-ethyl}-carbamic

Atty Dkt. No.: STAN-258US7

USSN: 10/579,663

acid benzyl ester; (S)-2-Benzyloxycarbonylamino-4-[(3-bromo-4,5-dihydro-isoxazol-5-ylmethyl)carbamoyl]-butyric acid methyl ester; (S)-2-Benzyloxycarbonylamino-N-(3-bromo-4,5-dihydroisoxazol-5-ylmethyl)-succinamic acid methyl ester; (S)-2-Benzyloxycarbonylamino-3-phenylpropionic acid 3-bromo-4,5-dihydro-isoxazol-5-ylmethyl ester; {(S)-1-[(3-Bromo-4,5-dihydroisoxazol-5-ylmethyl)-carbamoyl]-ethyl}-carbamic acid benzyl ester; (S)-2-Acetylamino-N-(3bromo-4,5-dihydro-isoxazol-5-ylmethyl)-3-phenyl-propionamide; {(R)-1-[(3-Bromo-4,5-dihydroisoxazol-5-ylmethyl)-carbamoyl]-2-phenyl-ethyl}-carbamic acid benzyl ester; {(S)-2-Benzylcarbamoyl-1-[(3-bromo-4,5-dihydro-isoxazol-5-ylmethyl)-carbamoyl]-ethyl}-carbamic acid benzyl ester; [(S)-1-[(3-Bromo-4,5-dihydro-isoxazol-5-ylmethyl)-carbamoyl]-2-(1H-indol-3-yl)ethyl]-carbamic acid benzyl ester; {(S)-1-[(3-Bromo-4,5-dihydro-isoxazol-5-ylmethyl)-methylcarbamoyl]-2-phenyl-ethyl}-carbamic acid benzyl ester; [(S)-1-[(3-Bromo-4,5-dihydro-isoxazol-5ylmethyl)-methyl-carbamoyl]-2-(4-hydroxy-phenyl)-ethyl]-carbamic acid benzyl ester; 1-(3-Bromo-4,5-dihydro-isoxazol-5-ylmethyl)-3-phenyl-urea; 1-(3-Bromo-4,5-dihydro-isoxazol-5ylmethyl)-3-(2-chloro-5-trifluoromethyl-phenyl)-urea; 1-(3-Bromo-4,5-dihydro-isoxazol-5ylmethyl)-3-(4-chloro-2-trifluoromethyl-phenyl)-urea; 1-(3-Bromo-4,5-dihydro-isoxazol-5ylmethyl)-3-(4-fluoro-phenyl)-urea; 1-(3-Bromo-4,5-dihydro-isoxazol-5-ylmethyl)-3-(2,5-dimethylphenyl)-urea; {(S)-1-[(3-Bromo-4,5-dihydro-isoxazol-5-ylmethyl)-carbamoyl]-2-(4-fluoro-phenyl)ethyl}-carbamic acid benzyl ester; {(S)-1-[(3-Bromo-4,5-dihydro-isoxazol-5-ylmethyl)carbamoyl]-2-(3-fluoro-phenyl)-ethyl}-carbamic acid benzyl ester; {(S)-1-[(3-Bromo-4,5-dihydroisoxazol-5-ylmethyl)-carbamoyl]-2-(1H-indol-3-yl)-ethyl}-carbamic acid benzyl ester; {(S)-1-[(3-Bromo-4,5-dihydro-isoxazol-5-ylmethyl)-carbamoyl]-2-(5-hydroxy-1H-indol-3-yl)-ethyl}-carbamic acid benzyl ester; {(S)-1-[(3-Bromo-4,5-dihydro-isoxazol-5-ylmethyl)-carbamoyl]-2-(4-hydroxyphenyl)-ethyl}-carbamic acid pyridin-4-ylmethyl ester; {(\$)-1-[(3-Bromo-4,5-dihydro-isoxazol-5ylmethyl)-carbamoyl]-2-(4-hydroxy-phenyl)-ethyl}-carbamic acid pyridin-3-ylmethyl ester; {(S)-1-[(3-Bromo-4,5-dihydro-isoxazol-5-ylmethyl)-carbamoyl]-2-(4-hydroxy-phenyl)-ethyl}-carbamic acid phenethyl ester; {(S)-1-[(3-Bromo-4,5-dihydro-isoxazol-5-ylmethyl)-carbamoyl]-2-(4hydroxy-phenyl)-ethyl}-carbamic acid naphthalen-2-ylmethyl ester; {(S)-1-[(3-Bromo-4,5dihydro-isoxazol-5-ylmethyl)-carbamoyl]-2-(4-hydroxy-phenyl)-ethyl}-carbamic acid 1,1-dioxo-1H-1λ6-benzo[b]thiophen-2-ylmethyl ester; <u>and {</u>(S)-1-[(3-Bromo-4,5-dihydro-isoxazol-5ylmethyl)-carbamoyl]-2-(5-hydroxy-1H-indol-3-yl)-ethyl}-carbamic acid 1,1-dioxo-1H-1λ6benzo[b]thiophen-2-ylmethyl ester.

6. (Currently Amended) A tTGase inhibitor of the formula:

Atty Dkt. No.: STAN-258US7

USSN: 10/579,663

where wherein  $R_1$ ,  $R_2$  and  $R_3$  are independently selected from H, a halo group, alkyl, aryl, and  $NO_2$ .

- 7. (Currently Amended) The tTGase inhibitor of Claim 11, wherein said inhibitor is selected from the group consisting of:
- 2,3-Dioxo-2,3-dihydro-1H-indole-5-sulfonic acid propylamide; 2,3-Dioxo-2,3-dihydro-1H-indole-5-sulfonic acid benzylamide; (S)-1-(2,3-Dioxo-2,3-dihydro-1H-indole-5-sulfonyl)-pyrrolidine-2-carboxylic acid methyl ester; (S)-2-(2,3-Dioxo-2,3-dihydro-1H-indole-5-sulfonylamino)-3-phenyl-propionamide; (S)-N-(2-Dimethylamino-ethyl)-2-(2,3-dioxo-2,3-dihydro-1H-indole-5-sulfonyl amino)-3-phenyl-propionamide; 6-Bromo-7-methyl-1H-indole-2,3-dione; and 7-Methyl-6-phenyl-1H-indole-2,3-dione.
- 8. (Currently Amended) A formulation for use in treatment of Celiac Sprue and/or dermatitis herpetiformis, comprising:

an effective dose of the tTGase inhibitor according to any of claim 1 and a pharmaceutically acceptable excipient.

9. (Currently Amended) A method of treating Celiac Sprue and/or dermatitis herpetiformis, the method comprising:

administering to a patient an effective dose of a formulation according to Claim 8; wherein said tTGase inhibitor attenuates gluten toxicity in said patient .

- 10. (Original) The method of Claim 9, wherein said formulation is administered with a glutenase.
- 11. (Original) The method according to Claim 9, wherein said formulation is administered orally.

Atty Dkt. No.: STAN-258US7 USSN: 10/579,663

(Original) The method according to Claim 9, wherein said formulation 12. comprises an enteric coating.

13 (new) The tTGase inhibitor of Claim 1, wherein the inhibitor is {(S)-1-[(3-Bromo-4,5dihydro-isoxazol-5-ylmethyl)-carbamoyl]-2-(4-hydroxy-phenyl)-ethyl}-carbamic acid pyridin-3ylmethyl ester.